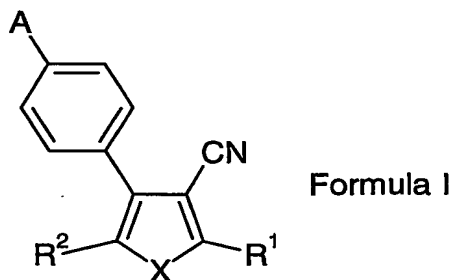


WE CLAIM:

1. A compound of Formula I:



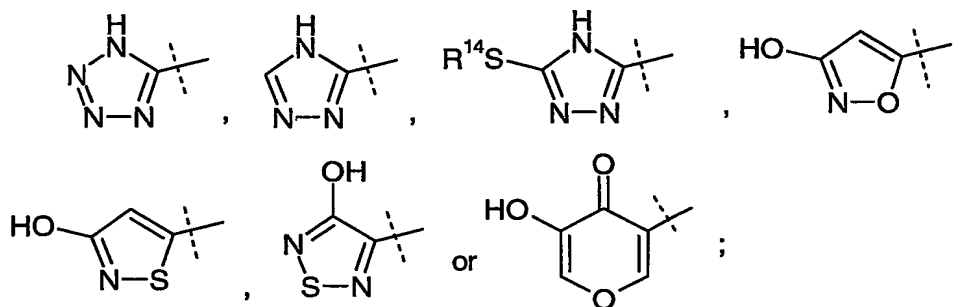
5 wherein

X represents S or O;

R¹ represents hydrogen, F, Cl, Br, I, CHO, -CN, -S(phenyl), CF₃, -(1-4C)alkyl, -(1-4C)alkoxy, -S(1-4C)alkyl, -SO(1-4C)alkyl, -SO₂(1-4C)alkyl, -C(=O)(1-3C)alkyl, NH₂, -NH(1-4C)alkyl, -N[(1-4C)alkyl]₂, -NH(4-7C)cycloalkyl, or

10 $-N[(1-4C)alkyl](CH_2)_rN[(1-4C)alkyl]_2;$

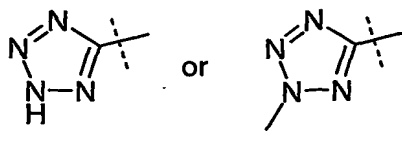
R² represents -CN, -CO₂H, -C(=O)NHR¹³, -C(=O)NHOH, -C(=O)NHCN, -SO₂OH, -SO₂NH(1-4C)alkyl, -C(=O)NHSO₂R¹⁹, -PH(=O)(OH), -P(=O)(OH)₂, -P(=O)(OH)NH₂, -P(=O)(OH)CH[(1-4C)alkoxy]₂, -C(=O)NHSO₂CF₃, -C(=O)NHSO₂CH₂CF₃,



R^4 represents hydrogen, OH, $-\text{CH}_2\text{OH}$, $-\text{CH}_2\text{CH}_2\text{OH}$, $-\text{CH}_2\text{O}(1-4\text{C})\text{alkyl}$, F, Cl, CF_3 , OCF_3 , $-\text{CN}$, NO_2 , NH_2 , $-\text{CH}_2\text{NH}_2$, $-(1-4\text{C})\text{alkyl}$, $-(1-4\text{C})\text{alkoxy}$, $-\text{C}(=\text{O})\text{NH}(1-4\text{C})\text{alkyl}$, $-\text{C}(=\text{O})\text{NH}_2$, $-\text{CH}_2\text{C}(=\text{O})\text{NH}_2$, $-\text{NHC}(=\text{O})(1-4\text{C})\text{alkyl}$, $-(\text{CH}_2)_m\text{NHSO}_2\text{R}^{10}$, $-(\text{CH}_2)_n\text{CN}$, $-(\text{CH}_2)_m\text{CO}_2\text{H}$, $-\text{C}(=\text{NOH})\text{CH}_3$, $-(\text{CH}_2)_m\text{CO}_2(1-6\text{C})\text{alkyl}$, $-\text{C}(=\text{O})\text{H}$, $-\text{C}(=\text{O})(1-4\text{C})\text{alkyl}$, $-\text{NH}(1-4\text{C})\text{alkyl}$, $-\text{N}[(1-4\text{C})\text{alkyl}]_2$, $-\text{SR}^{10}$, $-\text{SOR}^{10}$, $-\text{SO}_2\text{R}^{10}$, SH , $-\text{CH}_2\text{SO}_2\text{NH}_2$, $-\text{CH}_2\text{NHC}(=\text{O})\text{CH}_3$,

20

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R^5 represents hydrogen, F, Cl, -CN, NO_2 , NH_2 , $-(\text{CH}_2)_m\text{NHSO}_2R^{10}$, $-(1-4\text{C})\text{alkyl}$, or $-(1-4\text{C})\text{alkoxy}$;

R^6 represents hydrogen, $-(1-4\text{C})\text{alkyl}$, $-\text{SO}_2R^{11}$, or $-\text{C}(=\text{O})(1-4\text{C})\text{alkyl}$;

5 R^7 represents hydrogen or $-(1-4\text{C})\text{alkyl}$;

R^8 represents hydrogen, F, Cl, Br, $-(1-4\text{C})\text{alkyl}$, $-(1-4\text{C})\text{alkoxy}$, NO_2 , NH_2 , -CN, $-\text{NHSO}_2R^{11}$, or $-\text{C}(=\text{O})(1-4\text{C})\text{alkyl}$;

R^{8a} represents hydrogen, F, Cl, Br, $-(1-4\text{C})\text{alkyl}$, NO_2 , NH_2 , $\text{NH}(1-6\text{C})\text{alkyl}$, $\text{N}[(1-6\text{C})\text{alkyl}]_2$, $-\text{C}(=\text{O})\text{NH}_2$, -CN, $-\text{CO}_2\text{H}$, $-\text{S}(1-4\text{C})\text{alkyl}$, $-\text{NHCO}_2(1-4\text{C})\text{alkyl}$,

10 $-\text{C}(=\text{O})\text{NHCH}_2\text{CH}_2\text{CN}$, or $-\text{C}(=\text{O})(1-4\text{C})\text{alkyl}$;

R^{10} , R^{11} , and R^{12} each independently represent $-(1-4\text{C})\text{alkyl}$, $-(\text{CH}_2)_3\text{Cl}$, CF_3 , NH_2 ,

$\text{NH}(1-4\text{C})\text{alkyl}$, $\text{N}[(1-4\text{C})\text{alkyl}]_2$, thienyl, phenyl, $-\text{CH}_2\text{phenyl}$, or $-(\text{CH}_2)_2\text{phenyl}$,

wherein phenyl, as used in substituent R^{10} , R^{11} or R^{12} , is unsubstituted or substituted with F, Cl, Br, CF_3 , $-(1-4\text{C})\text{alkyl}$, $-(1-4)\text{alkoxy}$, or acetyl;

15 R^{13} represents hydrogen, $-(1-4\text{C})\text{alkyl}$, $-\text{CH}_2\text{CF}_3$, triazole, or tetrazole;

R^{14} represents $-(1-4\text{C})\text{alkyl}$;

R^{15} represents hydrogen or $-(1-4\text{C})\text{alkyl}$;

R^{19} represents $(1-4\text{C})\text{alkyl}$ or CF_3 ;

m represents 0, 1, 2, or 3;

20 n represents 1, 2, 3, or 4;

p represents 1 or 2;

r represents 1 or 2; and

A is selected from the group consisting of $-\text{OH}$, Br, I, CF_3 , $-(\text{CH}_2)_m\text{CN}$, $-\text{C}(\text{CH}_3)_2\text{CN}$, NO_2 , NH_2 , $-\text{O}(\text{CH}_2)_n\text{NH}_2$, $-\text{O}(\text{CH}_2)_n\text{NHSO}_2(1-4\text{C})\text{alkyl}$, $-\text{O}(\text{CH}_2)_n\text{SO}_2(1-4\text{C})\text{alkyl}$,

25 $-\text{C}(=\text{O})\text{NH}(\text{CH}_2)_r\text{NHSO}_2(1-4\text{C})\text{alkyl}$, $-\text{S}(1-4\text{C})\text{alkyl}$,

$-(1-6\text{C})\text{alkyl}$, $-(1-4\text{C})\text{alkoxy}$, $-(2-4\text{C})\text{alkenyl}$, $-(2-4\text{C})\text{alkenyloxy}$, $-\text{CO}_2\text{H}$,

$-\text{CO}_2(1-4\text{C})\text{alkyl}$, $-\text{CHO}$, $-\text{C}(=\text{O})(1-4\text{C})\text{alkyl}$, $-\text{C}(=\text{O})\text{NH}_2$, $-\text{C}(=\text{O})\text{NH}(1-6\text{C})\text{alkyl}$,

$-\text{C}(=\text{O})\text{NR}^{15}(\text{CH}_2)_m\text{phenyl}$ wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from the group consisting of OH, F, Cl, Br, I, NO_2 ,

30 NH_2 , $-\text{NHSO}_2(1-4\text{C})\text{alkyl}$, -CN, $-(1-4\text{C})\text{alkyl}$, and $-(1-4\text{C})\text{alkoxy}$; $-\text{OSO}_2\text{CF}_3$,

-O(CH₂)_nCN, -NHC(=O)(1-4C)alkyl, -NHC(=O)(CH₂)_mphenyl wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from the group consisting of OH, F, Cl, Br, I, NO₂, NH₂, CN, -(1-4C)alkyl and

-(1-4C)alkoxy; -(CH₂)_mNHSO₂R¹², -CH(CH₃)(CH₂)_pNHSO₂R¹²,

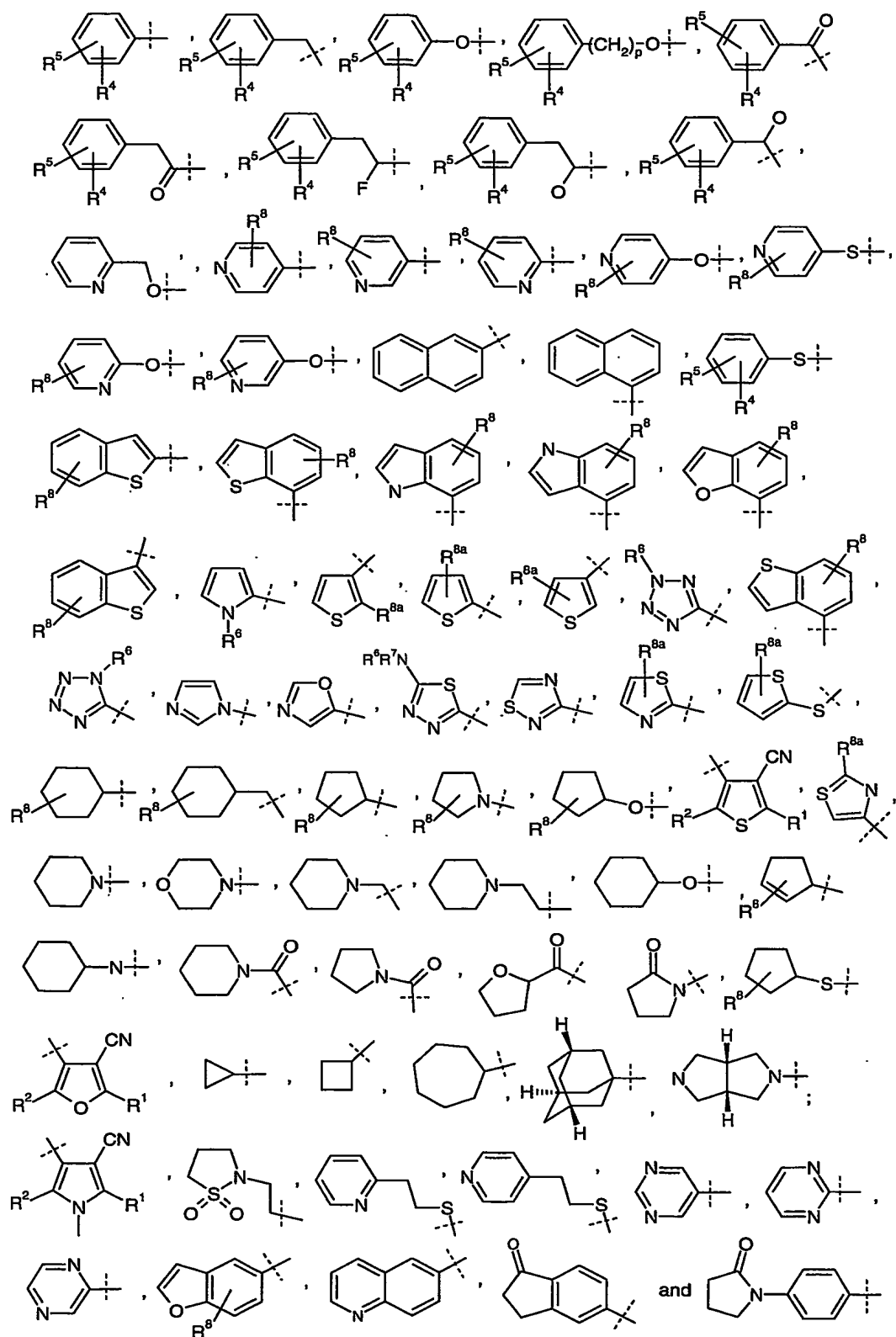
5 -(CH₂)_pCH(CH₃)NHSO₂R¹², -NH(CH₂)_mphenyl wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from the group consisting of OH, F, Cl, Br, I, NO₂, NH₂, CN, -(1-4C)alkyl, and -(1-4C)alkoxy; -NH(1-4C)alkyl,

-N[(1-4C)alkyl]₂, -C(=O)NH(3-6C)cycloalkyl, -C(=O)NH(CH₂)_nN[(1-4C)alkyl]₂,

-C(=O)NH(CH₂)_nNH(1-4C)alkyl, -(CH₂)_nNH₂, -O(CH₂)_nSR¹⁴, -O(CH₂)_nOR¹⁴,

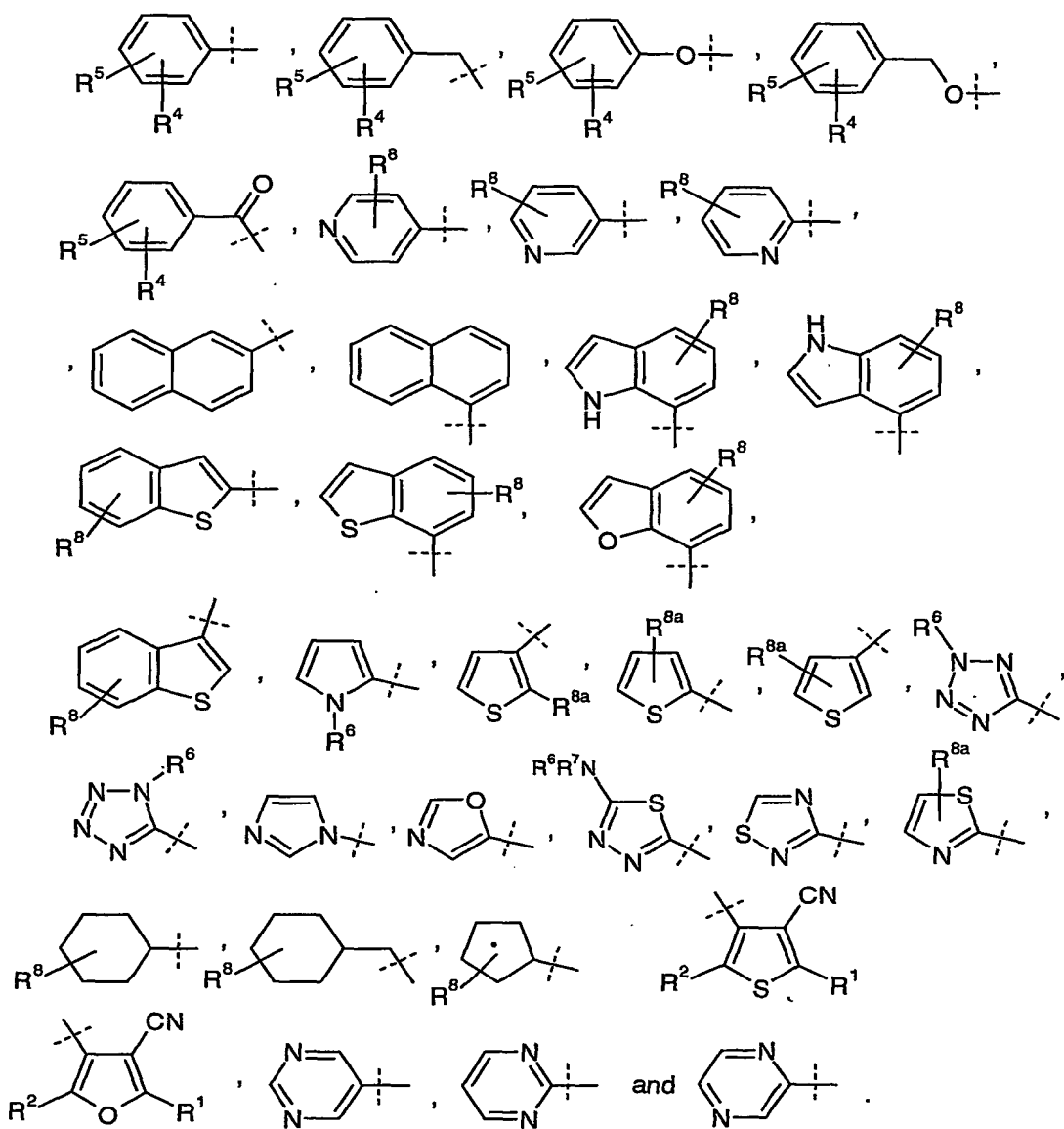
10 -(CH₂)_nNHR¹², -(CH₂)_nNH(3-6C)cycloalkyl, -(CH₂)_nN[(1-4C)alkyl]₂,

-CH₂NHC(=O)CH₃, -NHC(=O)NHR¹², -NHC(=O)N[(1-4C)alkyl]₂,

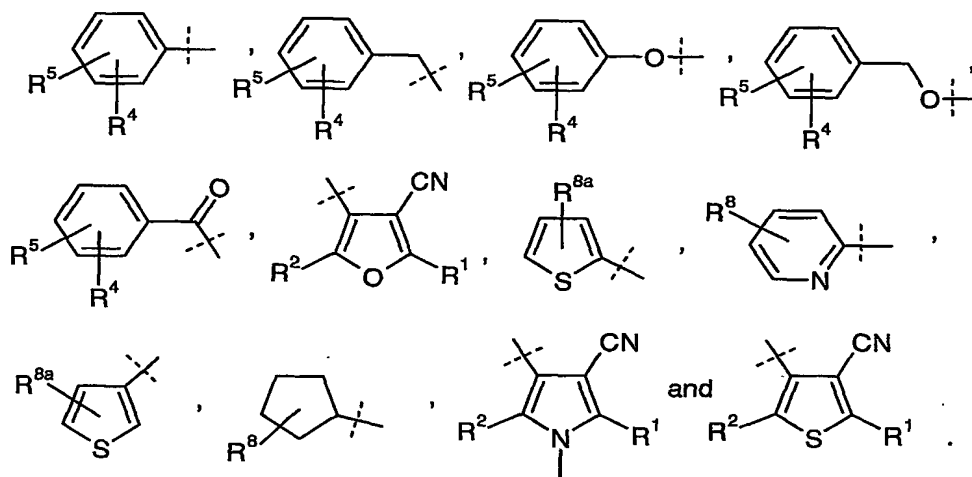


and the pharmaceutically acceptable salts thereof.

2. A compound according to claim 1 wherein R^2 represents $-\text{CO}_2\text{H}$.
3. A compound according to claim 2 wherein X represents S.
4. A compound according to claim 2 wherein X represents O.
5. A compound according to claim 3 or claim 4 wherein A is selected from the group consisting of: $-(\text{CH}_2)_m\text{NHSO}_2\text{R}^{12}$, $-\text{CH}(\text{CH}_3)(\text{CH}_2)_p\text{NHSO}_2\text{R}^{12}$, $-(\text{CH}_2)_p\text{CH}(\text{CH}_3)\text{NHSO}_2\text{R}^{12}$,

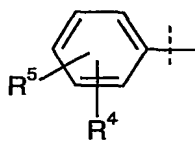


6. A compound according to claim 4 or claim 5 wherein A is selected from the group consisting of: $-(CH_2)_2NHSO_2R^{12}$, $-CH(CH_3)(CH_2)NHSO_2R^{12}$, $-(CH_2)CH(CH_3)NHSO_2R^{12}$,



5

7. A compound according to claim 4 or claim 5 wherein A is



8. A compound according to claim 7 wherein R^1 represents hydrogen, F, $-OCH_3$, $-C(=O)CH_3$, $-SCH_3$, CF_3 , methyl, or ethyl.

9. A compound according to claim 8 wherein R^1 represents hydrogen, $-SCH_3$, CF_3 , methyl, or ethyl.

10. A compound according to claim 9 wherein R^1 represents ethyl.

11. A compound according to claim 10 wherein R^5 represents hydrogen, F, Cl, or $-(1-4C)alkyl$.

12. A compound according to claim 11 wherein R^5 represents hydrogen.

13. A compound according to claim 12 wherein R^4 represents hydrogen, F, $-(1-4C)alkyl$, $-(1-4C)alkoxy$, $-C(=O)NH(1-4C)alkyl$, $-NHC(=O)(1-4C)alkyl$, $-NHSO_2R^{10}$, $-CN$, $-CO_2H$, $-C(=O)(1-4C)alkyl$, or $-S(1-4C)alkyl$.

14. A compound according to claim 13 wherein R^4 represents hydrogen, $-CN$, $-(1-4C)alkoxy$, or $-S(1-4C)alkyl$.

20

15. A compound according to claim 14 wherein R^4 represents hydrogen, -CN, ethoxy, or -SCH₃.

16. A composition comprising a compound according to claim 1 in combination with a pharmaceutically acceptable carrier, diluent or excipient.

5 17. A method of treating Alzheimer's disease in a patient comprising administering to said patient an effective amount of a compound according to claim 1.

18. A method of treating mild cognitive impairment in a patient comprising administering to said patient an effective amount of a compound according to claim 1.

10 19. A method of treating Parkinson's disease in a patient comprising administering to said patient an effective amount of a compound according to claim 1.

20. A method of treating schizophrenia in a patient comprising administering to said patient an effective amount of a compound according to claim 1.

21. Use of a compound according to claim 1 for the manufacture of a medicament for treating Alzheimer's disease.

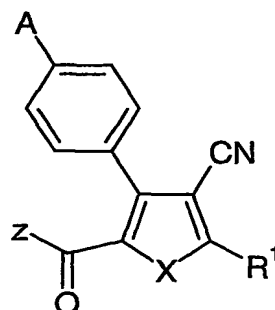
15 22. Use of a compound according to claim 1 for the manufacture of a medicament for treating schizophrenia.

23. Use of a compound according to claim 1 for the manufacture of a medicament for treating Parkinson's disease.

20 24. Use of a compound according to claim 1 for the manufacture of a medicament for treating mild cognitive impairment.

25. Use of a compound according to claim 1 for use as a pharmaceutical.

26. A compound of Formula II:



Formula II

wherein

25 X represents S or O;

R¹ represents hydrogen, F, Cl, Br, I, CHO, -CN, -S(phenyl), CF₃, -(1-4C)alkyl,

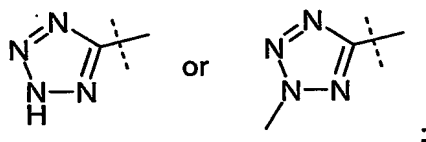
-(1-4C)alkoxy, -S(1-4C)alkyl, -SO(1-4C)alkyl, -SO₂(1-4C)alkyl, -C(=O)(1-3C)alkyl, NH₂, -NH(1-4C)alkyl, -N[(1-4C)alkyl]₂, or -NH(4-7C)cycloalkyl;

Z represents -O-(1-6C)alkyl, -O-(2-4C)alkenyl, -O-(1-6C)alkylaryl,

-O-(1-6C)alkyl(3-6C)cycloalkyl, -O-(1-6C)alkyl-N,N-(1-6C)dialkylamine,

5 -O-(1-6C)alkyl-pyrrolidine, -O-(1-6C)alkyl-piperidine, -O-(1-6C)alkyl-morpholine, or NH(1-6C)alkyl;

R⁴ represents hydrogen, OH, -CH₂OH, -CH₂CH₂OH, -CH₂O(1-4C)alkyl, F, Cl, CF₃, OCF₃, -CN, NO₂, NH₂, -CH₂NH₂, -(1-4C)alkyl, -(1-4C)alkoxy, -C(=O)NH(1-4C)alkyl, -C(=O)NH₂, -CH₂C(=O)NH₂, -NHC(=O)(1-4C)alkyl, -(CH₂)_mNHSO₂R¹⁰, -(CH₂)_nCN, 10 -(CH₂)_mCO₂H, -C(=NOH)CH₃, -(CH₂)_mCO₂(1-6C)alkyl, -C(=O)H, -C(=O)(1-4C)alkyl, -NH(1-4C)alkyl, -N[(1-4C)alkyl]₂, -SR¹⁰, -SOR¹⁰, -SO₂R¹⁰, SH, -CH₂SO₂NH₂, -CH₂NHC(=O)CH₃,



R⁵ represents hydrogen, F, Cl, -CN, NO₂, NH₂, -(CH₂)_mNHSO₂R¹⁰, -(1-4C)alkyl, or

15 -(1-4C)alkoxy;

R⁶ represents hydrogen, -(1-4C)alkyl, -SO₂R¹¹, or -C(=O)(1-4C)alkyl;

R⁷ represents hydrogen or -(1-4C)alkyl;

R⁸ represents hydrogen, F, Cl, Br, -(1-4C)alkyl, -(1-4C)alkoxy, NO₂, NH₂, -CN, -NHSO₂R¹¹, or -C(=O)(1-4C)alkyl;

20 R^{8a} represents hydrogen, F, Cl, Br, -(1-4C)alkyl, NO₂, NH₂, NH(1-6C)alkyl,

N[(1-6C)alkyl]₂, -C(=O)NH₂, -CN, -CO₂H, -S(1-4C)alkyl, -NHCO₂(1-4C)alkyl, -C(=O)NHCH₂CH₂CN, or -C(=O)(1-4C)alkyl;

R¹⁰, R¹¹, and R¹² each independently represent -(1-4C)alkyl, -(CH₂)₃Cl, CF₃, NH₂, NH(1-4C)alkyl, N[(1-4C)alkyl]₂, thienyl, phenyl, -CH₂phenyl, or -(CH₂)₂phenyl,

25 wherein phenyl, as used in substituent R¹⁰, R¹¹ or R¹², is unsubstituted or substituted with F, Cl, Br, CF₃, -(1-4C)alkyl, -(1-4C)alkoxy, or acetyl;

R¹³ represents hydrogen, -(1-4C)alkyl, -CH₂CF₃, triazole, or tetrazole;

R¹⁴ represents -(1-4C)alkyl;

R¹⁵ represents hydrogen or -(1-4C)alkyl;

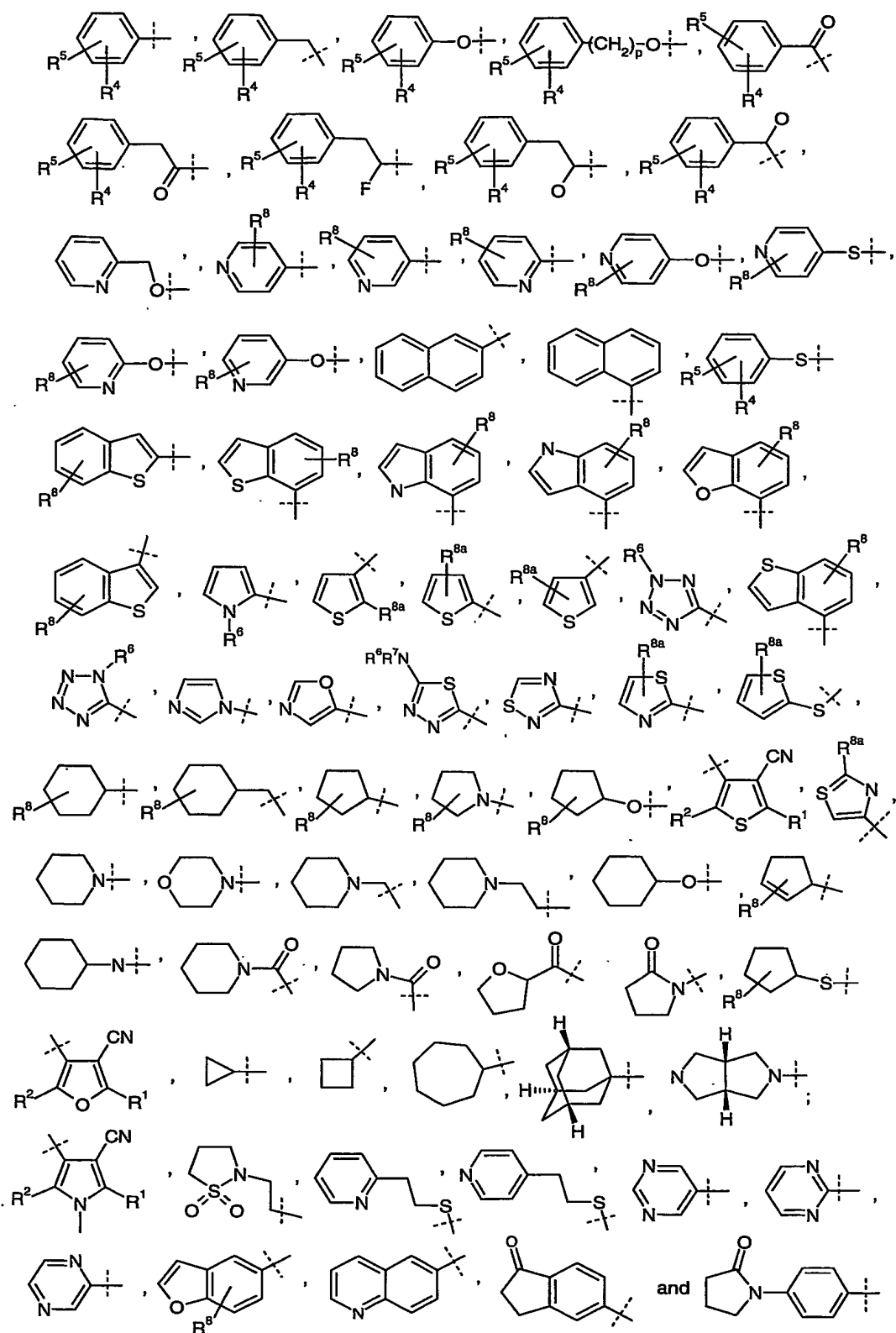
30 m represents 0, 1, 2, or 3;

n represents 1, 2, 3, or 4;

p represents 1 or 2;

r represents 1 or 2; and

- A is selected from the group consisting of -OH, Br, I, CF₃, -(CH₂)_mCN, -C(CH₃)₂CN, NO₂, NH₂, -O(CH₂)_nNH₂, -O(CH₂)_nNHSO₂(1-4C)alkyl, -O(CH₂)_nSO₂(1-4C)alkyl, -C(=O)NH(CH₂)_rNHSO₂(1-4C)alkyl, -S(1-4C)alkyl, -(1-6C)alkyl, -(1-4C)alkoxy, -(2-4C)alkenyl, -(2-4C)alkenyloxy, -CO₂H, -CO₂(1-4C)alkyl, -CHO, -C(=O)(1-4C)alkyl, -C(=O)NH₂, -C(=O)NH(1-6C)alkyl, -C(=O)NR¹⁵(CH₂)_mphenyl wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from the group consisting of OH, F, Cl, Br, I, NO₂, NH₂, -NHSO₂(1-4C)alkyl, -CN, -(1-4C)alkyl, and -(1-4C)alkoxy; -OSO₂CF₃, -O(CH₂)_nCN, -NHC(=O)(1-4C)alkyl, -NHC(=O)(CH₂)_mphenyl wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from the group consisting of OH, F, Cl, Br, I, NO₂, NH₂, CN, -(1-4C)alkyl and -(1-4C)alkoxy; -(CH₂)_mNHSO₂R¹², -CH(CH₃)(CH₂)_pNHSO₂R¹², -(CH₂)_pCH(CH₃)NHSO₂R¹², -NH(CH₂)_mphenyl wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from the group consisting of OH, F, Cl, Br, I, NO₂, NH₂, CN, -(1-4C)alkyl, and -(1-4C)alkoxy; -NH(1-4C)alkyl, -N[(1-4C)alkyl]₂, -C(=O)NH(3-6C)cycloalkyl, -C(=O)NH(CH₂)_nN[(1-4C)alkyl]₂, -C(=O)NH(CH₂)_nNH(1-4C)alkyl, -(CH₂)_nNH₂, -O(CH₂)_nSR¹⁴, -O(CH₂)_nOR¹⁴, -(CH₂)_nNHR¹², -(CH₂)_nNH(3-6C)cycloalkyl, -(CH₂)_nN[(1-4C)alkyl]₂, -CH₂NHC(=O)CH₃, -NHC(=O)NHR¹², -NHC(=O)N[(1-4C)alkyl]₂,

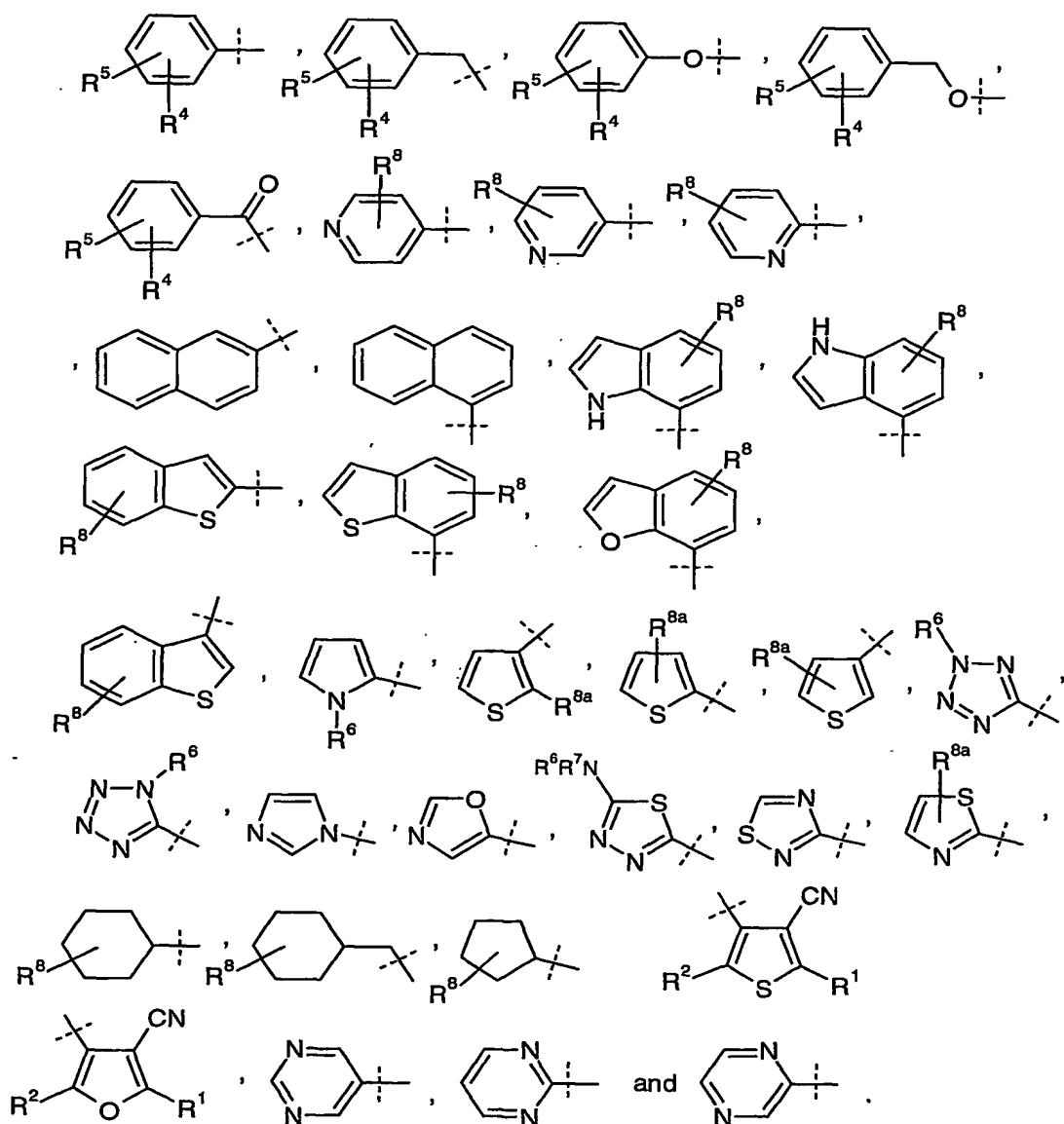


and the pharmaceutically acceptable salts thereof.

27. A compound according to claim 26 wherein X is O.

28. A compound according to claim 26 wherein X is S.

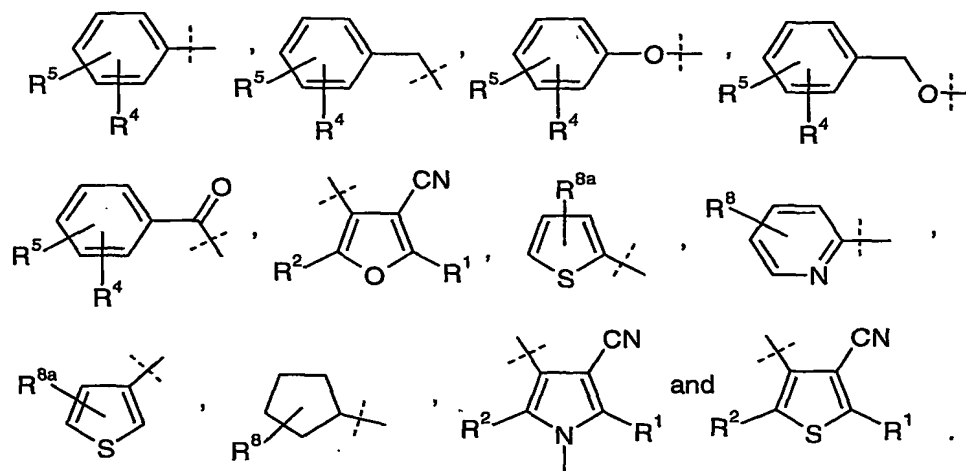
29. A compound according to claim 27 or claim 28 wherein A is selected from
 5 the group consisting of: $-(CH_2)_mNHSO_2R^{12}$, $-CH(CH_3)(CH_2)_pNHSO_2R^{12}$,
 $-(CH_2)_pCH(CH_3)NHSO_2R^{12}$,



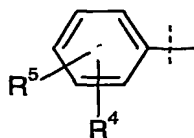
30. A compound according to claim 27 or claim 28 wherein A is selected from
 the group consisting of: $-(CH_2)_2NHSO_2R^{12}$, $-CH(CH_3)(CH_2)NHSO_2R^{12}$,

10 $-(CH_2)CH(CH_3)NHSO_2R^{12}$,

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31. A compound according to claim 27 or claim 28 wherein A is



32. A compound according to claim 31 wherein R^1 represents hydrogen, F, $-OCH_3$, $-C(=O)CH_3$, $-SCH_3$, CF_3 , methyl, or ethyl.

33. A compound according to claim 32 wherein R^1 represents hydrogen, $-SCH_3$, CF_3 , methyl, or ethyl.

34. A compound according to claim 33 wherein R^1 represents ethyl.

35. A compound according to claim 34 wherein R^5 represents hydrogen, F, Cl, or $-(1-4C)alkyl$.

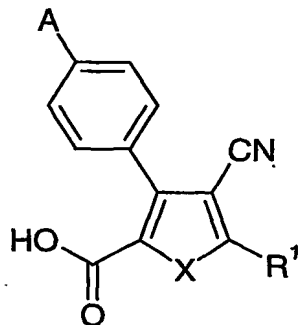
36. A compound according to claim 35 wherein R^5 represents hydrogen.

37. A compound according to claim 36 wherein R^4 represents hydrogen, F, $-(1-4C)alkyl$, $-(1-4C)alkoxy$, $-C(=O)NH(1-4C)alkyl$, $-NHC(=O)(1-4C)alkyl$, $-NHSO_2R^{10}$, $-CN$, $-CO_2H$, $-C(=O)(1-4C)alkyl$, or $-S(1-4C)alkyl$.

38. A compound according to claim 37 wherein R^4 represents hydrogen, $-CN$, $-(1-4C)alkoxy$, or $-S(1-4C)alkyl$.

39. A compound according to claim 38 wherein R^4 represents hydrogen, $-CN$, $ethoxy$, or $-SCH_3$.

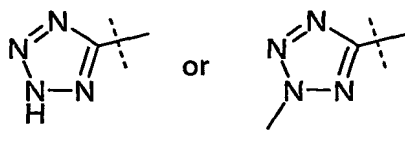
40. A process for preparing a compound of Formula Ia:



Formula Ia

wherein

- 5 X represents S or O;
- R^1 represents hydrogen, F, Cl, Br, I, CHO, -CN, -S(phenyl), CF_3 , -(1-4C)alkyl, -(1-4C)alkoxy, -S(1-4C)alkyl, -SO(1-4C)alkyl, -SO₂(1-4C)alkyl, -C(=O)(1-3C)alkyl, NH₂, -NH(1-4C)alkyl, -N[(1-4C)alkyl]₂, -NH(4-7C)cycloalkyl, or -N[(1-4C)alkyl](CH₂)_rN[(1-4C)alkyl]₂;
- 10 R^4 represents hydrogen, OH, -CH₂OH, -CH₂CH₂OH, -CH₂O(1-4C)alkyl, F, Cl, CF_3 , OCF₃, -CN, NO₂, NH₂, -CH₂NH₂, -(1-4C)alkyl, -(1-4C)alkoxy, -C(=O)NH(1-4C)alkyl, -C(=O)NH₂, -CH₂C(=O)NH₂, -NHC(=O)(1-4C)alkyl, -(CH₂)_mNHSO₂R¹⁰, -(CH₂)_nCN, -(CH₂)_mCO₂H, -C(=NOH)CH₃, -(CH₂)_mCO₂(1-6C)alkyl, -C(=O)H, -C(=O)(1-4C)alkyl, -NH(1-4C)alkyl, -N[(1-4C)alkyl]₂, -SR¹⁰, -SOR¹⁰, -SO₂R¹⁰, SH, -CH₂SO₂NH₂,
- 15 -CH₂NHC(=O)CH₃,



- R^5 represents hydrogen, F, Cl, -CN, NO₂, NH₂, -(CH₂)_mNHSO₂R¹⁰, -(1-4C)alkyl, or -(1-4C)alkoxy;
- R^6 represents hydrogen, -(1-4C)alkyl, -SO₂R¹¹, or -C(=O)(1-4C)alkyl;
- 20 R^7 represents hydrogen or -(1-4C)alkyl;
- R^8 represents hydrogen, F, Cl, Br, -(1-4C)alkyl, -(1-4C)alkoxy, NO₂, NH₂, -CN, -NHSO₂R¹¹, or -C(=O)(1-4C)alkyl;
- R^{8a} represents hydrogen, F, Cl, Br, -(1-4C)alkyl, NO₂, NH₂, NH(1-6C)alkyl, N[(1-6C)alkyl]₂, -C(=O)NH₂, -CN, -CO₂H, -S(1-4C)alkyl, -NHCO₂(1-4C)alkyl,

-C(=O)NHCH₂CH₂CN, or -C(=O)(1-4C)alkyl;

R¹⁰, R¹¹, and R¹² each independently represent -(1-4C)alkyl, -(CH₂)₃Cl, CF₃, NH₂, NH(1-4C)alkyl, N[(1-4C)alkyl]₂, thienyl, phenyl, -CH₂phenyl, or -(CH₂)₂phenyl, wherein phenyl, as used in substituent R¹⁰, R¹¹ or R¹², is unsubstituted or substituted with

F, Cl, Br, CF₃, -(1-4C)alkyl, -(1-4)alkoxy, or acetyl;

R¹³ represents hydrogen, -(1-4C)alkyl, -CH₂CF₃, triazole, or tetrazole;

R¹⁴ represents -(1-4C)alkyl;

R¹⁵ represents hydrogen or -(1-4C)alkyl;

R¹⁹ represents (1-4C)alkyl or CF₃;

m represents 0, 1, 2, or 3;

n represents 1, 2, 3, or 4;

p represents 1 or 2;

r represents 1 or 2; and

A is selected from the group consisting of -OH, Br, I, CF₃, -(CH₂)_mCN, -C(CH₃)₂CN,

NO₂, NH₂, -O(CH₂)_nNH₂, -O(CH₂)_nNHSO₂(1-4C)alkyl, -O(CH₂)_nSO₂(1-4C)alkyl,

-C(=O)NH(CH₂)_rNHSO₂(1-4C)alkyl, -S(1-4C)alkyl,

-(1-6C)alkyl, -(1-4C)alkoxy, -(2-4C)alkenyl, -(2-4C)alkenyloxy, -CO₂H,

-CO₂(1-4C)alkyl, -CHO, -C(=O)(1-4C)alkyl, -C(=O)NH₂, -C(=O)NH(1-6C)alkyl,

-C(=O)NR¹⁵(CH₂)_mphenyl wherein phenyl is unsubstituted or substituted with one or two

substituents independently selected from the group consisting of OH, F, Cl, Br, I, NO₂,

NH₂, -NHSO₂(1-4C)alkyl, -CN, -(1-4C)alkyl, and -(1-4C)alkoxy; -OSO₂CF₃,

-O(CH₂)_nCN, -NHC(=O)(1-4C)alkyl, -NHC(=O)(CH₂)_mphenyl wherein phenyl is

unsubstituted or substituted with one or two substituents independently selected from the

group consisting of OH, F, Cl, Br, I, NO₂, NH₂, CN, -(1-4C)alkyl and

-(1-4C)alkoxy; -(CH₂)_mNHSO₂R¹², -CH(CH₃)(CH₂)_pNHSO₂R¹²,

-(CH₂)_pCH(CH₃)NHSO₂R¹², -NH(CH₂)_mphenyl wherein phenyl is unsubstituted or

substituted with one or two substituents independently selected from the group consisting

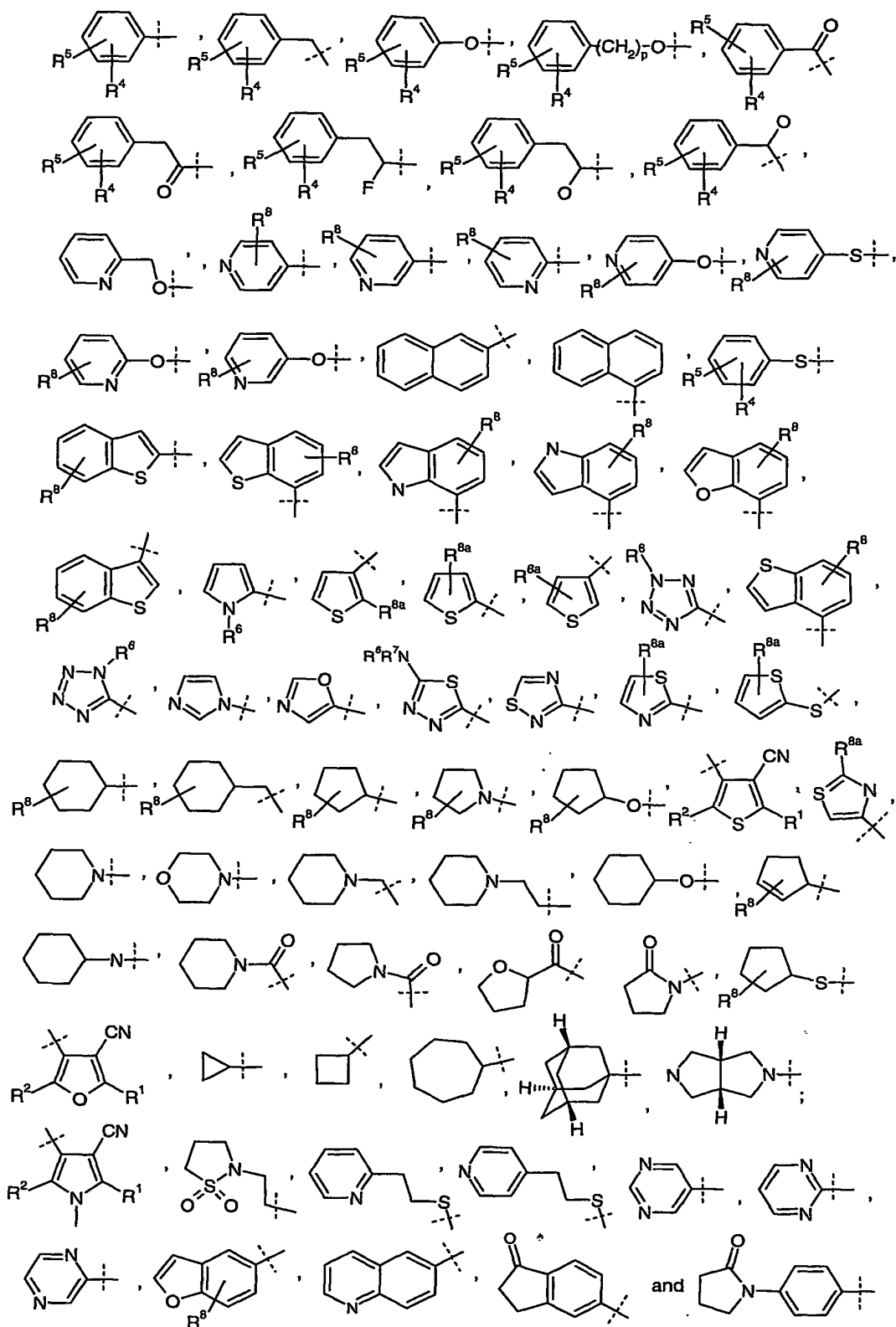
of OH, F, Cl, Br, I, NO₂, NH₂, CN, -(1-4C)alkyl, and -(1-4C)alkoxy; -NH(1-4C)alkyl,

-N[(1-4C)alkyl]₂, -C(=O)NH(3-6C)cycloalkyl, -C(=O)NH(CH₂)_nN[(1-4C)alkyl]₂,

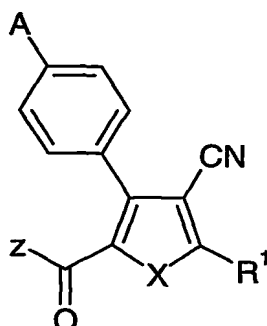
-C(=O)NH(CH₂)_nNH(1-4C)alkyl, -(CH₂)_nNH₂, -O(CH₂)_nSR¹⁴, -O(CH₂)_nOR¹⁴,

-(CH₂)_nNHR¹², -(CH₂)_nNH(3-6C)cycloalkyl, -(CH₂)_nN[(1-4C)alkyl]₂,

-CH₂NHC(=O)CH₃, -NHC(=O)NHR¹², -NHC(=O)N[(1-4C)alkyl]₂,



comprising hydrolyzing a compound of Formula II:



Formula II

wherein

X represents S or O;

R¹ represents hydrogen, F, Cl, Br, I, CHO, -CN, -S(phenyl), CF₃, -(1-4C)alkyl,

5 -(1-4C)alkoxy, -S(1-4C)alkyl, -SO(1-4C)alkyl, -SO₂(1-4C)alkyl, -C(=O)(1-3C)alkyl, NH₂, -NH(1-4C)alkyl, -N[(1-4C)alkyl]₂, or -NH(4-7C)cycloalkyl;

Z represents -O-(1-6C)alkyl, -O-(2-4C)alkenyl, -O-(1-6C)alkylaryl,

-O-(1-6C)alkyl(3-6C)cycloalkyl, -O-(1-6C)alkyl-N,N-(1-6C)dialkylamine,

-O-(1-6C)alkyl-pyrrolidine, -O-(1-6C)alkyl-piperidine, -O-(1-6C)alkyl-morpholine, or

10 NH(1-6C)alkyl;

R⁴ represents hydrogen, OH, -CH₂OH, -CH₂CH₂OH, -CH₂O(1-4C)alkyl, F, Cl, CF₃,

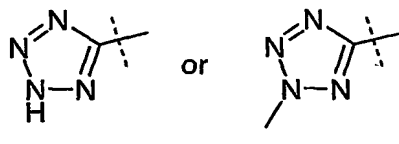
OCF₃, -CN, NO₂, NH₂, -CH₂NH₂, -(1-4C)alkyl, -(1-4C)alkoxy, -C(=O)NH(1-4C)alkyl,

-C(=O)NH₂, -CH₂C(=O)NH₂, -NHC(=O)(1-4C)alkyl, -(CH₂)_mNHSO₂R¹⁰, -(CH₂)_nCN,

-(CH₂)_mCO₂H, -C(=NOH)CH₃, -(CH₂)_mCO₂(1-6C)alkyl, -C(=O)H, -C(=O)(1-4C)alkyl,

15 -NH(1-4C)alkyl, -N[(1-4C)alkyl]₂, -SR¹⁰, -SOR¹⁰, -SO₂R¹⁰, SH, -CH₂SO₂NH₂,

-CH₂NHC(=O)CH₃,



R⁵ represents hydrogen, F, Cl, -CN, NO₂, NH₂, -(CH₂)_mNHSO₂R¹⁰, -(1-4C)alkyl, or
 -(1-4C)alkoxy;

20 R⁶ represents hydrogen, -(1-4C)alkyl, -SO₂R¹¹, or -C(=O)(1-4C)alkyl;

R⁷ represents hydrogen or -(1-4C)alkyl;

R⁸ represents hydrogen, F, Cl, Br, -(1-4C)alkyl, -(1-4C)alkoxy, NO₂, NH₂, -CN,
 -NHSO₂R¹¹, or -C(=O)(1-4C)alkyl;

R^{8a} represents hydrogen, F, Cl, Br, -(1-4C)alkyl, NO₂, NH₂, NH(1-6C)alkyl,

$\text{N}[(1-6\text{C})\text{alkyl}]_2$, $-\text{C}(=\text{O})\text{NH}_2$, $-\text{CN}$, $-\text{CO}_2\text{H}$, $-\text{S}(1-4\text{C})\text{alkyl}$, $-\text{NHCO}_2(1-4\text{C})\text{alkyl}$,
 $-\text{C}(=\text{O})\text{NHCH}_2\text{CH}_2\text{CN}$, or $-\text{C}(=\text{O})(1-4\text{C})\text{alkyl}$;

R^{10} , R^{11} , and R^{12} each independently represent $-(1-4\text{C})\text{alkyl}$, $-(\text{CH}_2)_3\text{Cl}$, CF_3 , NH_2 ,
 $\text{NH}(1-4\text{C})\text{alkyl}$, $\text{N}[(1-4\text{C})\text{alkyl}]_2$, thienyl, phenyl, $-\text{CH}_2\text{phenyl}$, or $-(\text{CH}_2)_2\text{phenyl}$,

wherein phenyl, as used in substituent R^{10} , R^{11} or R^{12} , is unsubstituted or substituted with
 F , Cl , Br , CF_3 , $-(1-4\text{C})\text{alkyl}$, $-(1-4)\text{alkoxy}$, or acetyl;

R^{13} represents hydrogen, $-(1-4\text{C})\text{alkyl}$, $-\text{CH}_2\text{CF}_3$, triazole, or tetrazole;

R^{14} represents $-(1-4\text{C})\text{alkyl}$;

R^{15} represents hydrogen or $-(1-4\text{C})\text{alkyl}$;

m represents 0, 1, 2, or 3;

n represents 1, 2, 3, or 4;

p represents 1 or 2;

r represents 1 or 2; and

A is selected from the group consisting of $-\text{OH}$, Br , I , CF_3 , $-(\text{CH}_2)_m\text{CN}$, $-\text{C}(\text{CH}_3)_2\text{CN}$,

NO_2 , NH_2 , $-\text{O}(\text{CH}_2)_n\text{NH}_2$, $-\text{O}(\text{CH}_2)_n\text{NHSO}_2(1-4\text{C})\text{alkyl}$, $-\text{O}(\text{CH}_2)_n\text{SO}_2(1-4\text{C})\text{alkyl}$,

$-\text{C}(=\text{O})\text{NH}(\text{CH}_2)_r\text{NHSO}_2(1-4\text{C})\text{alkyl}$, $-\text{S}(1-4\text{C})\text{alkyl}$,

$-(1-6\text{C})\text{alkyl}$, $-(1-4\text{C})\text{alkoxy}$, $-(2-4\text{C})\text{alkenyl}$, $-(2-4\text{C})\text{alkenyloxy}$, $-\text{CO}_2\text{H}$,

$-\text{CO}_2(1-4\text{C})\text{alkyl}$, $-\text{CHO}$, $-\text{C}(=\text{O})(1-4\text{C})\text{alkyl}$, $-\text{C}(=\text{O})\text{NH}_2$, $-\text{C}(=\text{O})\text{NH}(1-6\text{C})\text{alkyl}$,

$-\text{C}(=\text{O})\text{NR}^{15}(\text{CH}_2)_m\text{phenyl}$ wherein phenyl is unsubstituted or substituted with one or two

substituents independently selected from the group consisting of OH , F , Cl , Br , I , NO_2 ,

NH_2 , $-\text{NHSO}_2(1-4\text{C})\text{alkyl}$, $-\text{CN}$, $-(1-4\text{C})\text{alkyl}$, and $-(1-4\text{C})\text{alkoxy}$; $-\text{OSO}_2\text{CF}_3$,

$-\text{O}(\text{CH}_2)_n\text{CN}$, $-\text{NHC}(=\text{O})(1-4\text{C})\text{alkyl}$, $-\text{NHC}(=\text{O})(\text{CH}_2)_m\text{phenyl}$ wherein phenyl is

unsubstituted or substituted with one or two substituents independently selected from the
group consisting of OH , F , Cl , Br , I , NO_2 , NH_2 , CN , $-(1-4\text{C})\text{alkyl}$ and

$-(1-4\text{C})\text{alkoxy}$; $-(\text{CH}_2)_m\text{NHSO}_2\text{R}^{12}$, $-\text{CH}(\text{CH}_3)(\text{CH}_2)_p\text{NHSO}_2\text{R}^{12}$,

$-(\text{CH}_2)_p\text{CH}(\text{CH}_3)\text{NHSO}_2\text{R}^{12}$, $-\text{NH}(\text{CH}_2)_m\text{phenyl}$ wherein phenyl is unsubstituted or

substituted with one or two substituents independently selected from the group consisting

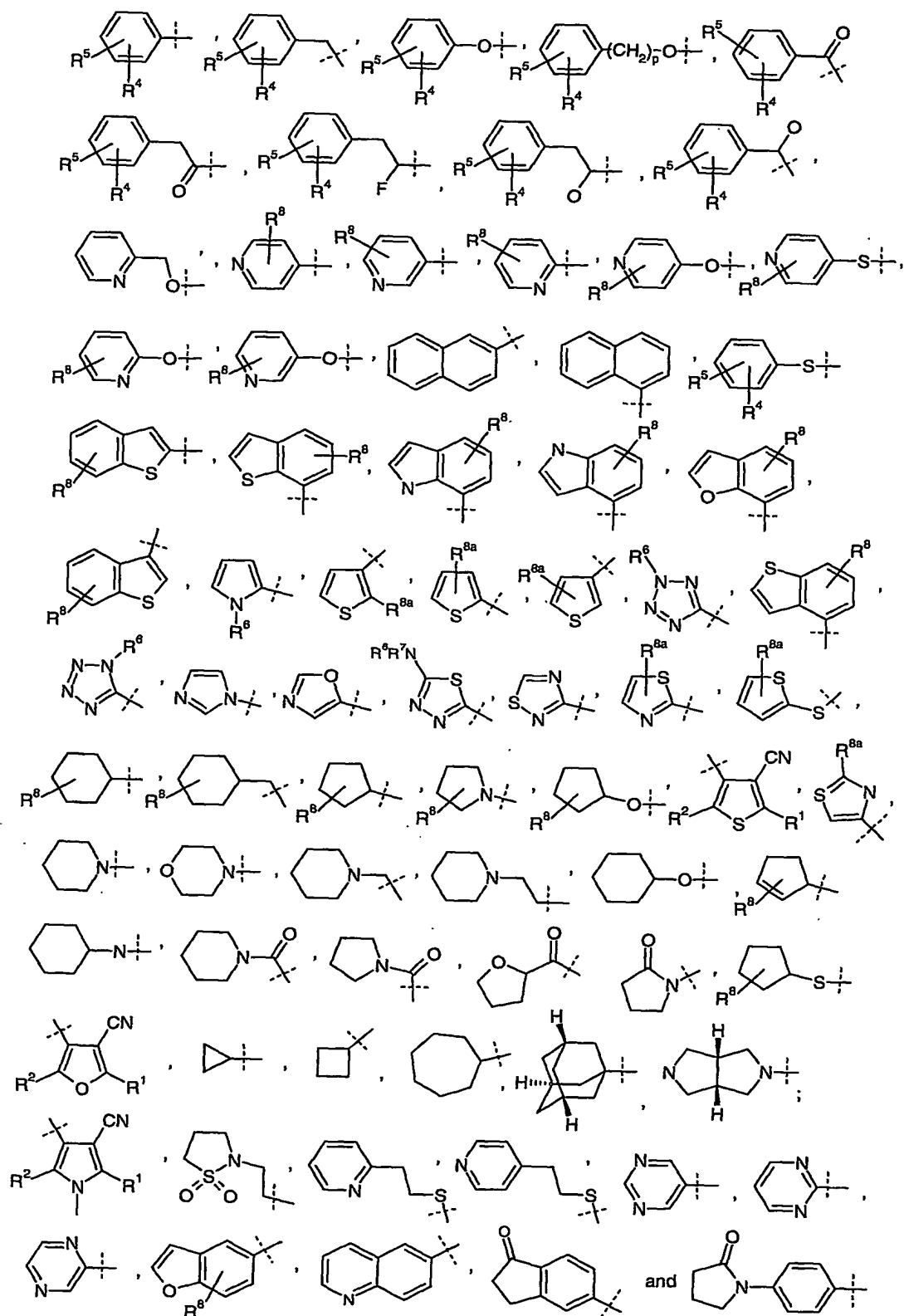
of OH , F , Cl , Br , I , NO_2 , NH_2 , CN , $-(1-4\text{C})\text{alkyl}$, and $-(1-4\text{C})\text{alkoxy}$; $-\text{NH}(1-4\text{C})\text{alkyl}$,

$-\text{N}[(1-4\text{C})\text{alkyl}]_2$, $-\text{C}(=\text{O})\text{NH}(3-6\text{C})\text{cycloalkyl}$, $-\text{C}(=\text{O})\text{NH}(\text{CH}_2)_n\text{N}[(1-4\text{C})\text{alkyl}]_2$,

$-\text{C}(=\text{O})\text{NH}(\text{CH}_2)_n\text{NH}(1-4\text{C})\text{alkyl}$, $-(\text{CH}_2)_n\text{NH}_2$, $-\text{O}(\text{CH}_2)_n\text{SR}^{14}$, $-\text{O}(\text{CH}_2)_n\text{OR}^{14}$,

$-(\text{CH}_2)_n\text{NHR}^{12}$, $-(\text{CH}_2)_n\text{NH}(3-6\text{C})\text{cycloalkyl}$, $-(\text{CH}_2)_n\text{N}[(1-4\text{C})\text{alkyl}]_2$,

$-\text{CH}_2\text{NHC}(=\text{O})\text{CH}_3$, $-\text{NHC}(=\text{O})\text{NHR}^{12}$, $-\text{NHC}(=\text{O})\text{N}[(1-4\text{C})\text{alkyl}]_2$,



with a hydrolysis agent.

41. A process according to claim 40 wherein the hydrolysis agent is a base.